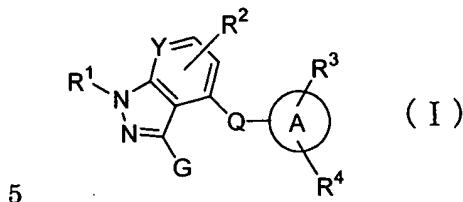


CLAIMS

1. A nitrogen-containing fused-ring derivative represented by the following general formula (I):



5

wherein

R¹ represents a hydrogen atom, a C₁₋₆ alkyl group, a halo(C₁₋₆ alkyl) group, a hydroxy(C₁₋₆ alkyl) group, a dihydroxy(C₁₋₆ alkyl) group, a C₁₋₆ alkoxy(C₁₋₆ alkyl) group,
10 a C₂₋₇ alkoxycarbonyl(C₁₋₆ alkyl) group, a carboxy(C₁₋₆ alkyl) group, a C₂₋₆ alkenyl group, -J-N(R⁵)-Z¹, -J-CON(R⁵)-Z¹, or any
of the following substituents (a) to (d) which may have any 1
to 3 substituents selected from the following substituent group
α on the ring;

15 (a) a C₃₋₇ cycloalkyl group, (b) a C₃₋₇ cycloalkyl(C₁₋₆ alkyl) group, (c) a C₆₋₁₀ aryl group or (d) a C₁₋₆ aryl(C₆₋₁₀ alkyl) group,

R² represents a hydrogen atom, a halogen atom or a C₁₋₆ alkyl group;

20 R³ and R⁴ independently represent a hydrogen atom, a hydroxy group, a halogen atom, a C₁₋₆ alkyl group, a C₂₋₆ alkenyl group, a C₂₋₆ alkynyl group, a C₁₋₆ alkoxy group, a C₂₋₆ alkenyloxy group, a C₁₋₆ alkylthio group, a C₂₋₆ alkenylthio group, a halo(C₁₋₆

alkyl) group, a halo(C₁₋₆ alkoxy) group, a halo(C₁₋₆ alkylthio) group, a hydroxy(C₁₋₆ alkyl) group, a hydroxy(C₂₋₆ alkenyl) group, a hydroxy(C₁₋₆ alkoxy) group, a hydroxy(C₁₋₆ alkylthio) group, a carboxy group, a carboxy(C₁₋₆ alkyl) group, a carboxy(C₂₋₆ alkenyl) group, a carboxy(C₁₋₆ alkoxy) group, a carboxy(C₁₋₆ alkylthio) group, a C₂₋₇ alkoxycarbonyl group, a C₂₋₇ alkoxycarbonyl(C₁₋₆ alkyl) group, a C₂₋₇ alkoxycarbonyl(C₁₋₆ alkenyl) group, a C₂₋₇ alkoxycarbonyl(C₁₋₆ alkoxy) group, a C₂₋₇ alkoxycarbonyl(C₁₋₆ alkylthio) group, a C₁₋₆ alkylsulfinyl group, 10 a C₁₋₆ alkylsulfonyl group, -U-V-W-N(R⁶)-Z², or any of the following substituents (i) to (xxviii) which may have any 1 to 3 substituents selected from the following substituent group α on the ring;

(i) a C₆₋₁₀ aryl group, (ii) C₆₋₁₀ aryl-O-, (iii) C₆₋₁₀ aryl-S-, (iv) a C₆₋₁₀ aryl(C₁₋₆ alkyl) group, (v) a C₆₋₁₀ aryl(C₁₋₆ alkoxy) group, (vi) a C₆₋₁₀ aryl(C₁₋₆ alkylthio) group, (vii) a heteroaryl group, (viii) heteroaryl-O-, (ix) heteroaryl-S-, (x) a heteroaryl(C₁₋₆ alkyl) group, (xi) a heteroaryl(C₁₋₆ alkoxy) group, (xii) a heteroaryl(C₁₋₆ alkylthio) group, (xiii) 20 a C₃₋₇ cycloalkyl group, (xiv) C₃₋₇ cycloalkyl-O-, (xv) C₃₋₇ cycloalkyl-S-, (xvi) a C₃₋₇ cycloalkyl(C₁₋₆ alkyl) group, (xvii) a C₃₋₇ cycloalkyl(C₁₋₆ alkoxy) group, (xviii) a C₃₋₇ cycloalkyl(C₁₋₆ alkylthio) group, (xix) a heterocycloalkyl group, (xx) heterocycloalkyl-O-, (xxi) heterocycloalkyl-S-, 25 (xxii) a heterocycloalkyl(C₁₋₆ alkyl) group, (xxiii) a heterocycloalkyl(C₁₋₆ alkoxy) group, (xxiv) a heterocycloalkyl(C₁₋₆ alkylthio) group, (xxv) an aromatic

cyclic amino group, (xxvi) an aromatic cyclic amino (C₁₋₆ alkyl) group, (xxvii) an aromatic cyclic amino (C₁₋₆ alkoxy) group, or (xxviii) an aromatic cyclic amino (C₁₋₆ alkylthio) group,

J represents a C₁₋₆ alkylene group which may have a hydroxy 5 group, or a C₂₋₆ alkenylene group;

U represents -O-, -S- or a single bond and with the proviso that at least one of V and W is not a single bond when U is -O- or -S-);

V represents a C₁₋₆ alkylene group which may have a hydroxy 10 group, a C₂₋₆ alkenylene group or a single bond;

W represents -CO-, -SO₂-, -C(=NH)- or a single bond;

Z¹ and Z² independently represent a hydrogen atom, a C₂₋₇ 15 alkoxy carbonyl group, a C₆₋₁₀ aryl (C₂₋₇ alkoxy carbonyl) group, a formyl group, -R^A, -COR^B, -SO₂R^B, -CON(R^C)R^D, -CSN(R^C)R^D, -SO₂NHR^A or -C(=NR^E)N(R^F)R^G;

R⁵, R⁶, R^A, R^C and R^D independently represent a hydrogen atom, a C₁₋₆ alkyl group which may have any 1 to 5 substituents selected from the following substituent group β or any of the following substituents (xxix) to (xxxii) which may have any 1 20 to 3 substituents selected from the following substituent group α;

(xxix) a C₆₋₁₀ aryl group, (xxx) a heteroaryl group, (xxxii) a C₃₋₇ cycloalkyl group or (xxxii) a heterocycloalkyl group, 25 or both of Z¹ and R⁵ or both of Z² and R⁶ bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have any 1 to 3 substituents selected from the following substituent group α;

or R^C and R^D bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have any 1 to 3 substituents selected from the following substituent group α ;

5 R^B represents a C_{2-7} alkoxy carbonyl group, a C_{1-6} alkylsulfonylamino group, a C_{6-10} arylsulfonylamino group, a C_{1-6} alkyl group which may have any 1 to 5 substituents selected from the following substituent group β or any of the following substituents (xxxiii) to (xxxvi) which may have any 1 to 3 substituents selected from the following substituent group α ;

10 (xxxiii) a C_{6-10} aryl group, (xxxiv) a heteroaryl group, (xxxv) a C_{3-7} cycloalkyl group or (xxxvi) a heterocycloalkyl group,

15 R^E , R^F and R^G independently represent a hydrogen atom, a cyano group, a carbamoyl group, a C_{2-7} acyl group, a C_{2-7} alkoxy carbonyl group, a C_{6-10} aryl(C_{2-7} alkoxy carbonyl) group, a nitro group, a C_{1-6} alkylsulfonyl group, a sulfamoyl group, a carbamimidoyl group or a C_{1-6} alkyl group which may have any 1 to 5 substituents selected from the following substituent group β ;

20 or R^E and R^F bind together to form an ethylene group; or R^F and R^G bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have a substituent selected from the following substituent group α ;

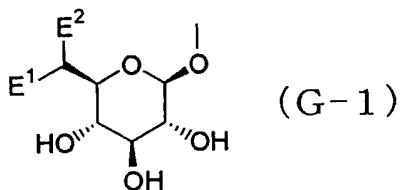
25 Y represents CH or N;
 Q represents $-C_{1-6}$ alkylene-, $-C_{2-6}$ alkenylene-, $-C_{2-6}$ alkynylene-, $-C_{1-6}$ alkylene-O-, $-C_{1-6}$ alkylene-S-, $-O-C_{1-6}$

alkylene-, -S-C₁₋₆ alkylene-, -C₁₋₆ alkylene-O-C₁₋₆ alkylene-,
 -C₁₋₆ alkylene-S-C₁₋₆ alkylene-, -CON(R⁷)-, -N(R⁷)CO-, -C₁₋₆
 alkylene-CON(R⁷)- or -CON(R⁷)-C₁₋₆ alkylene-;

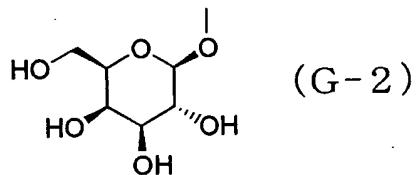
R⁷ represents a hydrogen atom or a C₁₋₆ alkyl group;

5 ring A represents a C₆₋₁₀ aryl group or a heteroaryl group;

G represents a group represented by a formula:



or a formula:



;

10 E¹ represents a hydrogen atom, a fluorine atom or
 a hydroxy group;

E² represents a hydrogen atom, a fluorine atom, a
 methyl group or a hydroxymethyl group;

[substituent group α]

15 a halogen atom, a hydroxy group, an amino group, a C₁₋₆ alkyl
 group, a C₁₋₆ alkoxy group, a halo(C₁₋₆ alkyl) group, a halo(C₁₋₆
 alkoxy) group, a hydroxy(C₁₋₆ alkyl) group, a C₂₋₇
 alkoxy carbonyl(C₁₋₆ alkyl) group, a hydroxy(C₁₋₆ alkoxy) group,
 an amino(C₁₋₆ alkyl) group, an amino(C₁₋₆ alkoxy) group, a mono
 20 or di(C₁₋₆ alkyl) amino group, a mono or di[hydroxy(C₁₋₆
 alkyl)] amino group, a C₁₋₆ alkylsulfonyl group, a C₁₋₆

alkylsulfonylamino group, a C₁₋₆ alkylsulfonylamino (C₁₋₆ alkyl) group, a carboxy group, a C₂₋₇ alkoxy carbonyl group, a sulfamoyl group and -CON(R^H)R^I

[substituent group β]

- 5 a halogen atom, a hydroxy group, an amino group, a C₁₋₆ alkoxy group, a C₁₋₆ alkylthio group, a halo(C₁₋₆ alkoxy) group, a halo(C₁₋₆ alkylthio) group, a hydroxy(C₁₋₆ alkoxy) group, a hydroxy(C₁₋₆ alkylthio) group, an amino(C₁₋₆ alkoxy) group, an amino(C₁₋₆ alkylthio) group, a mono or di(C₁₋₆ alkyl) amino group,
- 10 a mono or di[hydroxy(C₁₋₆ alkyl)] amino group, an ureido group, a sulfamide group, a mono or di(C₁₋₆ alkyl) ureido group, a mono or di[hydroxy(C₁₋₆ alkyl)]ureido group, a mono or di(C₁₋₆ alkyl)sulfamide group, a mono or di[hydroxy(C₁₋₆ alkyl)]-sulfamide group, a C₂₋₇ acylamino group, an amino(C₂₋₇ acylamino) group, a C₁₋₆ alkylsulfonyl group, a C₁₋₆ alkylsulfonylamino group, a carbamoyl(C₁₋₆ alkylsulfonylamino) group, a carboxy group, a C₂₋₇ alkoxy carbonyl group, -CON(R^H)R^I, and any of the following substituents (xxxvii) to (xxxxviii) which may have any 1 to 3 substituents selected from the above substituent group
- 15 20 α on the ring;

- (xxxvii) a C₆₋₁₀ aryl group, (xxxviii) C₆₋₁₀ aryl-O-, (xxxix) a C₆₋₁₀ aryl(C₁₋₆ alkoxy) group, (xxxx) a C₆₋₁₀ aryl(C₁₋₆ alkylthio) group, (xxxxi) a heteroaryl group, (xxxxii) heteroaryl-O-, (xxxxiii) a C₃₋₇ cycloalkyl group, (xxxxiv) C₃₋₇ cycloalkyl-O-, (xxxxv) a heterocycloalkyl group, (xxxxvi) heterocycloalkyl-O-, (xxxxvii) an aliphatic cyclic amino group or (xxxxviii) an aromatic cyclic amino group

R^H and R^I independently represent a hydrogen atom or a C₁₋₆ alkyl group which may have any 1 to 3 substituents selected from the following substituent group γ ;

or both of R^H and R^I bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have any 1 to 3 substituents selected from the following substituent group δ ;

[substituent group γ]

a halogen atom, a hydroxy group, an amino group, a C₁₋₆ alkoxy group, a halo(C₁₋₆ alkoxy) group, a hydroxy(C₁₋₆ alkoxy) group, an amino(C₁₋₆ alkoxy) group, a mono or di(C₁₋₆ alkyl)amino group, a mono or di[hydroxy(C₁₋₆ alkyl)]amino group, an ureido group, a sulfamide group, a mono or di(C₁₋₆ alkyl)ureido group, a mono or di[hydroxy(C₁₋₆ alkyl)]ureido group, a mono or di(C₁₋₆ alkyl)sulfamide group, a mono or di[hydroxy(C₁₋₆ alkyl)]-sulfamide group, a C₂₋₇ acylamino group, an amino(C₂₋₇ acylamino) group, a C₁₋₆ alkylsulfonyl group, a C₁₋₆ alkylsulfonylamino group, a carbamoyl(C₁₋₆ alkylsulfonylamino) group, a carboxy group, a C₂₋₇ alkoxycarbonyl group and -CON(R^J)R^K

[substituent group δ]

a halogen atom, a hydroxy group, an amino group, a C₁₋₆ alkyl group, a C₁₋₆ alkoxy group, a halo(C₁₋₆ alkyl) group, a halo(C₁₋₆ alkoxy) group, a hydroxy(C₁₋₆ alkyl) group, a C₂₋₇ alkoxycarbonyl(C₁₋₆ alkyl) group, a hydroxy(C₁₋₆ alkoxy) group, a mono or di(C₁₋₆ alkyl)amino group, a mono or di[hydroxy(C₁₋₆ alkyl)]amino group, a C₁₋₆ alkylsulfonyl group, a C₁₋₆

alkylsulfonylamino group, a C₁₋₆ alkylsulfonylamino (C₁₋₆ alkyl) group, a carboxy group, a C₂₋₇ alkoxy carbonyl group, a sulfamoyl group and -CON(R^J)R^K

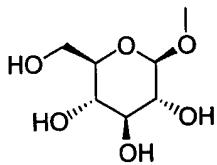
R^J and R^K independently represent a hydrogen atom or a
5 C₁₋₆ alkyl group which may have any 1 to 3 substituents selected
from a hydroxy group, an amino group, a mono or di(C₁₋₆ alkyl) amino
group, a C₂₋₇ alkoxy carbonyl group and a carbamoyl group;
or both of R^J and R^K bind together with the neighboring
nitrogen atom to form an aliphatic cyclic amino group which may
10 have any 1 to 3 substituents selected from a hydroxy group, an
amino group, a mono or di(C₁₋₆ alkyl) amino group, a C₁₋₆ alkyl
group, a hydroxy(C₁₋₆ alkyl) group, a C₂₋₇ alkoxy carbonyl group,
a C₂₋₇ alkoxy carbonyl(C₁₋₆ alkyl) group and a carbamoyl group,
or a pharmaceutically acceptable salt thereof, or a prodrug
15 thereof.

2. A nitrogen-containing fused-ring derivative as claimed
in claim 1, wherein Q represents an ethylene group, or a
pharmaceutically acceptable salt thereof, or a prodrug thereof.

20

3. A nitrogen-containing fused-ring derivative as claimed
in claim 1, wherein Q represents a methylene group, or a
pharmaceutically acceptable salt thereof, or a prodrug thereof.

25 4. A nitrogen-containing fused-ring derivative as claimed
in any one of claims 1 to 3, wherein G represents a group
represented by the formula:



, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

5 5. A nitrogen-containing fused-ring derivative as claimed in any one of claims 1 to 4, wherein ring A represents a group derived from a benzene ring, a pyridine ring, a pyrimidine ring, a pyrazine ring or a pyridazine ring, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

10

6. A nitrogen-containing fused-ring derivative as claimed in claim 5, wherein the ring A represents a benzene ring, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

15 7. A nitrogen-containing fused-ring derivative as claimed in claim 5, wherein the ring A represents a pyridine ring, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

8. A nitrogen-containing fused-ring derivative as
20 claimed in claim 5, wherein R³ represents a hydrogen atom, a halogen atom or a C₁₋₆ alkyl group; R⁴ represents a hydrogen atom, a hydroxy group, a halogen atom, a C₁₋₆ alkyl group, a C₁₋₆ alkoxy group, a C₁₋₆ alkylthio group, a hydroxy(C₁₋₆ alkyl) group, a C₃₋₇ cycloalkyl group, or -U^a-V^a-W^a-N(R^{6a})-Z^{2a}-; U^a
25 represents -O- or a single bond and with the proviso that at

least one of V^a and W^a does not represent a single bond when U^a represents $-O-$; V^a represents a C₁₋₆ alkylene group, a C₂₋₆ alkenylene group or a single bond; W^a represents $-CO-$ or a single bond; Z^{2a} represents a hydrogen atom, $-R^{Aa}$, $-CON(R^C)R^D$, or
5 $-C(=NR^E)N(R^F)R^G$; R^{6a} and R^{Aa} independently represent a hydrogen atom, or a C₁₋₆ alkyl group which may have any 1 to 5 groups selected from the following substituent group β ; R^C and R^D independently represent a hydrogen atom, a C₁₋₆ alkyl group which may have any 1 to 5 groups selected from the following substituent
10 group β , or any of the following substituents (xxix) to (xxxii) which may have any 1 to 3 substituents selected from the following substituent group α ;

(xxix) a C₆₋₁₀ aryl group, (xxx) a heteroaryl group, (xxxi) a C₃₋₇ cycloalkyl group or (xxxii) a heterocycloalkyl group,
15 or R^C and R^D bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have any 1 to 3 substituents selected from the following substituent group α ; R^E , R^F and R^G independently represent a hydrogen atom, a cyano group, a carbamoyl group, a C₂₋₇ acyl group, a C₂₋₇ alkoxy carbonyl group, a C₆₋₁₀ aryl (C₂₋₇ alkoxy carbonyl) group, a nitro group,
20 a C₁₋₆ alkylsulfonyl group, a sulfamoyl group, a carbamimidoyl group or a C₁₋₆ alkyl group which may have any 1 to 5 substituents selected from the following substituent group β ; or R^E and R^F bind together to form an ethylene group; or R^F and R^G bind together
25 with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have a substituent selected from the following substituent group α ;

[substituent group α]

a halogen atom, a hydroxy group, an amino group, a C₁₋₆ alkyl group, a C₁₋₆ alkoxy group, a halo(C₁₋₆ alkyl) group, a halo(C₁₋₆ alkoxy) group, a hydroxy(C₁₋₆ alkyl) group, a C₂₋₇

5 alkoxycarbonyl(C₁₋₆ alkyl) group, a hydroxy(C₁₋₆ alkoxy) group, an amino(C₁₋₆ alkyl) group, an amino(C₁₋₆ alkoxy) group, a mono or di(C₁₋₆ alkyl)amino group, a mono or di[hydroxy(C₁₋₆ alkyl)]amino group, a C₁₋₆ alkylsulfonyl group, a C₁₋₆ alkylsulfonylamino group, a C₁₋₆ alkylsulfonylamino (C₁₋₆ alkyl)

10 group, a carboxy group, a C₂₋₇ alkoxycarbonyl group, a sulfamoyl group and -CON(R^H)R^I

[substituent group β]

a halogen atom, a hydroxy group, an amino group, a C₁₋₆ alkoxy group, a C₁₋₆ alkylthio group, a halo(C₁₋₆ alkoxy) group,

15 a halo(C₁₋₆ alkylthio) group, a hydroxy(C₁₋₆ alkoxy) group, a hydroxy(C₁₋₆ alkylthio) group, an amino(C₁₋₆ alkoxy) group, an amino(C₁₋₆ alkylthio) group, a mono or di(C₁₋₆ alkyl)amino group, a mono or di[hydroxy(C₁₋₆ alkyl)]amino group, an ureido group, a sulfamide group, a mono or di(C₁₋₆ alkyl)ureido group, a mono

20 or di[hydroxy(C₁₋₆ alkyl)]ureido group, a mono or di(C₁₋₆ alkyl)sulfamide group, a mono or di[hydroxy(C₁₋₆ alkyl)]-sulfamide group, a C₂₋₇ acylamino group, an amino(C₂₋₇ acylamino) group, a C₁₋₆ alkylsulfonyl group, a C₁₋₆ alkylsulfonylamino group, a carbamoyl(C₁₋₆ alkylsulfonylamino) group, a carboxy group, a C₂₋₇ alkoxycarbonyl group, -CON(R^H)R^I, and any of the

25 following substituents (xxxvii) to (xxxxviii) which may have any 1 to 3 substituents selected from the above substituent group

α on the ring;

- (xxxvii) a C₆-10 aryl group, (xxxviii) C₆-10 aryl-O-, (xxxix) a C₆-10 aryl(C₁-6 alkoxy) group, (xxxx) a C₆-10 aryl(C₁-6 alkylthio) group, (xxxxi) a heteroaryl group, (xxxxii) 5 heteroaryl-O-, (xxxxiii) a C₃-7 cycloalkyl group, (xxxxiv) C₃-7 cycloalkyl-O-, (xxxxv) a heterocycloalkyl group, (xxxxvi) heterocycloalkyl-O-, (xxxxvii) an aliphatic cyclic amino group or (xxxxviii) an aromatic cyclic amino group,

R^H and R^I independently represent a hydrogen atom or a 10 C₁-6 alkyl group which may have any 1 to 3 substituents selected from the following substituent group γ ; or both of R^H and R^I bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have any 1 to 3 substituents selected from the following substituent group δ ;

15 [substituent group γ]

a halogen atom, a hydroxy group, an amino group, a C₁-6 alkoxy group, a halo(C₁-6 alkoxy) group, a hydroxy(C₁-6 alkoxy) group, an amino(C₁-6 alkoxy) group, a mono or di(C₁-6 alkyl) amino group, a mono or di[hydroxy(C₁-6 alkyl)] amino group, an ureido 20 group, a sulfamide group, a mono or di(C₁-6 alkyl) ureido group, a mono or di[hydroxy(C₁-6 alkyl)] ureido group, a mono or di(C₁-6 alkyl) sulfamide group, a mono or di[hydroxy(C₁-6 alkyl)]-sulfamide group, a C₂-7 acylamino group, an amino(C₂-7 acylamino) group, a C₁-6 alkylsulfonyl group, a C₁-6 alkylsulfonylamino 25 group, a carbamoyl(C₁-6 alkylsulfonylamino) group, a carboxy group, a C₂-7 alkoxycarbonyl group and -CON(R^J)R^K

[substituent group δ]

a halogen atom, a hydroxy group, an amino group, a C₁₋₆ alkyl group, a C₁₋₆ alkoxy group, a halo(C₁₋₆ alkyl) group, a halo(C₁₋₆ alkoxy) group, a hydroxy(C₁₋₆ alkyl) group, a C₂₋₇ alkoxycarbonyl(C₁₋₆ alkyl) group, a hydroxy(C₁₋₆ alkoxy) group,
5 an amino(C₁₋₆ alkyl) group, an amino(C₁₋₆ alkoxy) group, a mono or di(C₁₋₆ alkyl)amino group, a mono or di[hydroxy(C₁₋₆ alkyl)]amino group, a C₁₋₆ alkylsulfonyl group, a C₁₋₆ alkylsulfonylamino group, a C₁₋₆ alkylsulfonylamino(C₁₋₆ alkyl) group, a carboxy group, a C₂₋₇ alkoxycarbonyl group, a sulfamoyl
10 group and -CON(R^J)R^K

R^J and R^K independently represent a hydrogen atom or a C₁₋₆ alkyl group which may have any 1 to 3 substituents selected from a hydroxy group, an amino group, a mono or di(C₁₋₆ alkyl)amino group, a C₂₋₇ alkoxycarbonyl group and a carbamoyl group;
15 or both of R^J and R^K bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have any 1 to 3 substituents selected from a hydroxy group, an amino group, a mono or di(C₁₋₆ alkyl)amino group, a C₁₋₆ alkyl group, a hydroxy(C₁₋₆ alkyl) group, a C₂₋₇ alkoxycarbonyl group, a C₂₋₇ alkoxycarbonyl(C₁₋₆ alkyl) group and a carbamoyl group, or a
20 pharmaceutically acceptable salt thereof, or a prodrug thereof.

9. A nitrogen-containing fused-ring derivative as claimed in claim 5 or 8, wherein R¹ represents a hydrogen atom, a C₁₋₆ alkyl group, a hydroxy(C₁₋₆ alkyl) group, or -J^a-CONH₂; J^a
25 represents a C₁₋₆ alkylene group; R² represents a hydrogen atom, or a pharmaceutically acceptable salt thereof, or a prodrug

thereof.

10. A pharmaceutical composition comprising as an active ingredient a nitrogen-containing fused-ring derivative as claimed in any one of claims 1 to 9, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.
5
11. A human SGLT inhibitor comprising as an active ingredient a nitrogen-containing fused-ring derivative as claimed in any one of claims 1 to 9, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.
10
12. A human SGLT inhibitor as claimed in claim 11, wherein the SGLT is SGLT1 and/or SGLT2.
15
13. A human SGLT inhibitor as claimed in claim 11, which is an agent for the inhibition of postprandial hyperglycemia.
20
14. A human SGLT inhibitor as claimed in claim 11, which is an agent for the prevention or treatment of a disease associated with hyperglycemia.
25
15. A human SGLT inhibitor as claimed in claim 14, wherein the disease associated with hyperglycemia is a disease selected from the group consisting of diabetes, impaired glucose tolerance, diabetic complications, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia,
30

lipid metabolism disorder, atherosclerosis, hypertension, congestive heart failure, edema, hyperuricemia and gout.

16. A human SGLT inhibitor as claimed in claim 11, which is
5 an agent for the inhibition of advancing impaired glucose tolerance into diabetes in a subject.

17. A pharmaceutical composition as claimed in claim 10,
wherein the dosage form is sustained release formulation.

10
18. A human SGLT inhibitor as claimed in claim 11, wherein the dosage form is sustained release formulation.

19. A method for the inhibition of postprandial hyperglycemia,
15 which comprises administering an effective amount of a nitrogen-containing fused-ring derivative as claimed in any one of claims 1 to 9, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

20 20. A method for the prevention or treatment of a disease associated with hyperglycemia, which comprises administering an effective amount of a nitrogen-containing fused-ring derivative as claimed in any one of claims 1 to 9, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

25
21. A method for the prevention or treatment as claimed in claim 20, wherein the disease associated with hyperglycemia is

a disease selected from the group consisting of diabetes,
impaired glucose tolerance, diabetic complications, obesity,
hyperinsulinemia, hyperlipidemia, hypercholesterolemia,
hypertriglyceridemia, lipid metabolism disorder,
5 atherosclerosis, hypertension, congestive heart failure, edema,
hyperuricemia and gout.

22. A method for the inhibition of advancing impaired glucose
tolerance into diabetes in a subject, which comprises
10 administering an effective amount of a nitrogen-containing
fused-ring derivative as claimed in any one of claims 1 to 9,
or a pharmaceutically acceptable salt thereof, or a prodrug
thereof.

15 23. A use of a nitrogen-containing fused-ring derivative as
claimed in any one of claims 1 to 9, or a pharmaceutically
acceptable salt thereof, or a prodrug thereof for the manufacture
of a pharmaceutical composition for the inhibition of
postprandial hyperglycemia.

20 24. A use of a nitrogen-containing fused-ring derivative as
claimed in any one of claims 1 to 9, or a pharmaceutically
acceptable salt thereof, or a prodrug thereof for the manufacture
of a pharmaceutical composition for the prevention or treatment
25 of a disease associated with hyperglycemia.

25. A use as claimed in claim 24, wherein the disease associated

with hyperglycemia is a disease selected from the group consisting of diabetes, impaired glucose tolerance, diabetic complications, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, congestive heart failure, edema, hyperuricemia and gout.

26. A use of a nitrogen-containing fused-ring derivative as claimed in any one of claims 1 to 9, or a pharmaceutically acceptable salt thereof, or a prodrug thereof for the manufacture of a pharmaceutical composition for the inhibition of advancing impaired glucose tolerance into diabetes in a subject.

27. A pharmaceutical composition as claimed in claim 10, which comprises combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin,

an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a γ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- κ B inhibitor, a lipid peroxidase inhibitor, an N-acetylated- α -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a β_3 -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting

antihypertensive agent, an α_2 -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

5 28. A human SGLT inhibitor as claimed in claim 11, which comprises combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, 10 a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, 15 an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a γ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- κ B inhibitor, a lipid peroxidase inhibitor, an N-acetylated- α -linked-acid-dipeptidase inhibitor, 20 25 insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine,

5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide,
Y-128, antidiarrhoics, cathartics, a hydroxymethylglutaryl
coenzyme A reductase inhibitor, a fibrate, a β_3 -adrenoceptor
agonist, an acyl-coenzyme A cholesterol acyltransferase
5 inhibitor, probcitol, a thyroid hormone receptor agonist, a
cholesterol absorption inhibitor, a lipase inhibitor, a
microsomal triglyceride transfer protein inhibitor, a
lipoxygenase inhibitor, a carnitine palmitoyl-transferase
inhibitor, a squalene synthase inhibitor, a low-density
10 lipoprotein receptor enhancer, a nicotinic acid derivative, a
bile acid sequestrant, a sodium/bile acid cotransporter
inhibitor, a cholesterol ester transfer protein inhibitor, an
appetite suppressant, an angiotensin-converting enzyme
inhibitor, a neutral endopeptidase inhibitor, an angiotensin
15 II receptor antagonist, an endothelin-converting enzyme
inhibitor, an endothelin receptor antagonist, a diuretic agent,
a calcium antagonist, a vasodilating antihypertensive agent,
a sympathetic blocking agent, a centrally acting
antihypertensive agent, an α_2 -adrenoceptor agonist, an
20 antiplatelets agent, a uric acid synthesis inhibitor, a
uricosuric agent and a urinary alkalinizer.

29. A method for the inhibition of postprandial hyperglycemia
as claimed in claim 19, which comprises administering in
25 combination with at least one member selected from the group
consisting of an insulin sensitivity enhancer, a glucose
absorption inhibitor, a biguanide, an insulin secretion enhancer,

a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a
5 glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue,
10 a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a γ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- κ B inhibitor, a lipid
15 peroxidase inhibitor, an
 N -acetylated- α -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine,
20 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a β_3 -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a
25 cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase

inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an 5 appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, 10 a sympathetic blocking agent, a centrally acting antihypertensive agent, an α_2 -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

15 30. A method for the prevention or treatment of a disease associated with hyperglycemia as claimed in claim 20, which comprises administering in combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin 20 secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, 25 a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase

kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation
5 inhibitor, a protein kinase C inhibitor, a γ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- κ B inhibitor, a lipid peroxidase inhibitor, an N-acetylated- α -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor,
10 a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, antidiarrhoeics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a β_3 -adrenoceptor
15 agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase
20 inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme
25 inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent,

a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an α_2 -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a 5 uricosuric agent and a urinary alkalinizer.

31. A method for the inhibition of advancing impaired glucose tolerance into diabetes in a subject as claimed in claim 22, which comprises administering in combination with at least one 10 member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase 15 II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, 20 a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a 25 γ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- κ B inhibitor, a lipid peroxidase inhibitor, an N-acetylated- α -linked-acid-

dipeptidase inhibitor, insulin-like growth factor-I,
platelet-derived growth factor, a platelet-derived growth
factor analogue, epidermal growth factor, nerve growth factor,
a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin,
5 EGB-761, bimoclomol, sulodexide, Y-128, antidiarrhoics,
cathartics, a hydroxymethylglutaryl coenzyme A reductase
inhibitor, a fibrate, a β_3 -adrenoceptor agonist, an
acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol,
a thyroid hormone receptor agonist, a cholesterol absorption
10 inhibitor, a lipase inhibitor, a microsomal triglyceride
transfer protein inhibitor, a lipoxygenase inhibitor, a
carnitine palmitoyl-transferase inhibitor, a squalene synthase
inhibitor, a low-density lipoprotein receptor enhancer, a
nicotinic acid derivative, a bile acid sequestrant, a sodium/bile
15 acid cotransporter inhibitor, a cholesterol ester transfer
protein inhibitor, an appetite suppressant, an
angiotensin-converting enzyme inhibitor, a neutral
endopeptidase inhibitor, an angiotensin II receptor antagonist,
an endothelin-converting enzyme inhibitor, an endothelin
20 receptor antagonist, a diuretic agent, a calcium antagonist,
a vasodilating antihypertensive agent, a sympathetic blocking
agent, a centrally acting antihypertensive agent, an
 α_2 -adrenoceptor agonist, an antiplatelets agent, a uric acid
synthesis inhibitor, a uricosuric agent and a urinary
25 alkalinizer.

32. A use of (A) a nitrogen-containing fused-ring derivative

as claimed in any one of claims 1 to 9, or a pharmaceutically acceptable salt thereof, or a prodrug thereof and (B) at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a
5 biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase
10 inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1
15 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a γ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- κ B inhibitor, a lipid
20 peroxidase inhibitor, an N-acetylated- α -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin,
25 EGB-761, bimoclomol, sulodexide, Y-128, antidiarrhoeics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a β_3 -adrenoceptor agonist, an

acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol,
a thyroid hormone receptor agonist, a cholesterol absorption
inhibitor, a lipase inhibitor, a microsomal triglyceride
transfer protein inhibitor, a lipoxygenase inhibitor, a
5 carnitine palmitoyl-transferase inhibitor, a squalene synthase
inhibitor, a low-density lipoprotein receptor enhancer, a
nicotinic acid derivative, a bile acid sequestrant, a sodium/bile
acid cotransporter inhibitor, a cholesterol ester transfer
protein inhibitor, an appetite suppressant, an
10 angiotensin-converting enzyme inhibitor, a neutral
endopeptidase inhibitor, an angiotensin II receptor antagonist,
an endothelin-converting enzyme inhibitor, an endothelin
receptor antagonist, a diuretic agent, a calcium antagonist,
a vasodilating antihypertensive agent, a sympathetic blocking
15 agent, a centrally acting antihypertensive agent, an
 α_2 -adrenoceptor agonist, an antiplatelets agent, a uric acid
synthesis inhibitor, a uricosuric agent and a urinary alkalinizer,
for the manufacture of a pharmaceutical composition for the
inhibition of postprandial hyperglycemia.

20

33. A use of (A) a nitrogen-containing fused-ring derivative
as claimed in any one of claims 1 to 9, or a pharmaceutically
acceptable salt thereof, or a prodrug thereof and (B) at least
one member selected from the group consisting of an insulin
25 sensitivity enhancer, a glucose absorption inhibitor, a
biguanide, an insulin secretion enhancer, a SGLT2 inhibitor,
an insulin or insulin analogue, a glucagon receptor antagonist,

an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a
5 fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose
10 reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a γ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- κ B inhibitor, a lipid peroxidase inhibitor, an N-acetylated- α -linked-acid-
15 dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, antidiarrhoics,
20 cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a β_3 -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride
25 transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a

nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral

5 endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an
10 α_2 -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer, for the manufacture of a pharmaceutical composition for the prevention or treatment of a disease associated with hyperglycemia.

15

34. A use of (A) a nitrogen-containing fused-ring derivative as claimed in any one of claims 1 to 9, or a pharmaceutically acceptable salt thereof, or a prodrug thereof and (B) at least one member selected from the group consisting of an insulin
20 sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein
25 tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase

inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol,
a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1,
a glucagon-like peptide-1 analogue, a glucagon-like peptide-1
agonist, amylin, an amylin analogue, an amylin agonist, an aldose
5 reductase inhibitor, an advanced glycation endproducts
formation inhibitor, a protein kinase C inhibitor, a
γ-aminobutyric acid receptor antagonist, a sodium channel
antagonist, a transcript factor NF-κB inhibitor, a lipid
peroxidase inhibitor, an N-acetylated-α-linked-acid-
10 dipeptidase inhibitor, insulin-like growth factor-I,
platelet-derived growth factor, a platelet-derived growth
factor analogue, epidermal growth factor, nerve growth factor,
a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin,
EGB-761, bimoclomol, sulodexide, Y-128, antidiarrhoics,
15 cathartics, a hydroxymethylglutaryl coenzyme A reductase
inhibitor, a fibrate, a β₃-adrenoceptor agonist, an
acyl-coenzyme A cholesterol acyltransferase inhibitor, probucol,
a thyroid hormone receptor agonist, a cholesterol absorption
inhibitor, a lipase inhibitor, a microsomal triglyceride
20 transfer protein inhibitor, a lipoxygenase inhibitor, a
carnitine palmitoyl-transferase inhibitor, a squalene synthase
inhibitor, a low-density lipoprotein receptor enhancer, a
nicotinic acid derivative, a bile acid sequestrant, a sodium/bile
acid cotransporter inhibitor, a cholesterol ester transfer
25 protein inhibitor, an appetite suppressant, an
angiotensin-converting enzyme inhibitor, a neutral
endopeptidase inhibitor, an angiotensin II receptor antagonist,

an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an
5 α_2 -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesisinhibitor, auricosuricagent and a urinaryalkalinizer, for the manufacture of a pharmaceutical composition for the inhibition of advancing impaired glucose tolerance into diabetes in a subject.